

PCT

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INTERNATIONAL PRELIMINARY EXAMINATION REPORT  
(PCT Article 36 and Rule 70)



REC'D 25 MAR 2004

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Applicant's or agent's file reference 407 WO	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416)	
International application No. PCT/DK 03/00208	International filing date (day/month/year) 26.03.2003	Priority date (day/month/year) 27.03.2002
International Patent Classification (IPC) or both national classification and IPC C07D401/14		
Applicant H. LUNDBECK AS et al.		

- This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
- This REPORT consists of a total of 5 sheets, including this cover sheet.  
  
☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).  
  
These annexes consist of a total of sheets.

- This report contains indications relating to the following items:
  - ☒ Basis of the opinion
  - ☐ Priority
  - ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
  - ☐ Lack of unity of invention
  - ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
  - ☐ Certain documents cited
  - ☐ Certain defects in the international application
  - ☐ Certain observations on the international application

Date of submission of the demand  17.09.2003	Date of completion of this report  23.03.2004
Name and mailing address of the international preliminary examining authority:   European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer  Ousset, J-B  Telephone No. +49 89 2399-8271  

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. **PCT/DK 03/00208**

**I. Basis of the report**

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

**Description, Pages**

1-20 as originally filed

**Claims, Numbers**

1-12 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).  
☐ the language of publication of the international application (under Rule 48.3(b)).  
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.  
☐ filed together with the international application in computer readable form.  
☐ furnished subsequently to this Authority in written form.  
☐ furnished subsequently to this Authority in computer readable form.  
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.  
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:  
☐ the claims, Nos.:  
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

*(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)*

6. Additional observations, if necessary:

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**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability;  
citations and explanations supporting such statement**

**1. Statement**

Novelty (N)	Yes: Claims	1-12
	No: Claims	
Inventive step (IS)	Yes: Claims	
	No: Claims	1-12
Industrial applicability (IA)	Yes: Claims	1-12
	No: Claims	

**2. Citations and explanations**

**see separate sheet**

**SECTION V**

1). Relevant prior art is represented by:

D1 J.Med.Chem (1992), vol. 35, p. 1092-1101

D2 JACS, (2001), vol. 123, p. 7727-9

2). The claimed matter is novel vis-à-vis D1 which discloses only the type of reaction with the 5-fluoroindole as starting material.

D2 describes the versatility of the reaction but not with the 5-chloroindole as starting material.

3). D1 represents the closest prior art, since the reaction is run with the fluoro indole instead of the chlorindole.

Thus, the problem underlying the current application appears to be the provision of a further to make available 1-phenylsubstituted indole derivatives.

In view of the content of the description, this problem is regarded as solved.

Starting from D1, the skilled person knows that the reaction using a copper catalyst, a chelating agent and a base works with the fluoro derivatives (see table II). Moreover, D2 shows that the nature of the N-containing ring system to be substituted by a phenyl group can vary broadly without impairing the result of the reaction.

Furthermore, D1 mentions also clearly (see page 1095, left column, lines 15-22) that the type of reaction used in the current application is to be applied to commercially available product. Since the 5-chlorindole is commercially available (see Aldrich catalog), the skilled reader knowing the content of D1 and D2 would arrive at the claimed process without inventive skills.

Hence, the problem underlying the current application appears to be the provision of a further process to make available N-phenylsubstituted indole derivatives having unexpected properties over the prior art.

This problem has not been solved.

If it is intended to submit comparative tests in response to this communication, they

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must use the process of D1 in which X is a fluor in compound 7.

4). There is no objection with regard to industrial applicability.